## 5 What is Claimed:

1. A compound of Formula (I):

$$R^{1}-Z^{1} \xrightarrow{|||} X^{1}-N \xrightarrow{|||} X^{2} \xrightarrow{|||} N - X^{3} \xrightarrow{|||} Z^{2}-R^{2}$$
(I)

wherein:

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 $Z^1$  and  $Z^2$  are independently  $-NR^3$ - (wherein  $R^3$  is hydrogen or alkyl) or -O-;

 $R^1$  and  $R^2$  are independently substituted alkyl, substituted aryl, heteroaryl, or substituted heteroaryl provided that at least one of  $R^1$  and  $R^2$  is a group that can form a pharmaceutically acceptable acid addition salt;

 $R^3$  is hydrogen, alkyl or  $R^3$  and  $R^1$  or  $R^2$  together with the atoms to which they are attached form a heterocyclic ring;

 $X^2$  is aryl, substituted aryl, heteroaryl, substituted heteroaryl, alkenyl, alkynyl, cycloalkyl or heterocyclic;

 $X^1$  and  $X^3$  are independently aryl, substituted aryl, heteroaryl, substituted heteroaryl, or  $-CHR^4$ , wherein  $R^4$  is natural or unnatural amino acid side chain;

or a pharmaceutically acceptable acid addition salt thereof.

- 2. The compound of Claim 1, wherein  $Z^1$  and  $Z^2$  are -NH.
- 3. The compound of Claim 2, wherein  $X^2$  is aryl, substituted aryl, heteroaryl or substituted heteroaryl.
  - 4. The compound of Claim 2, wherein R<sup>1</sup> and R<sup>2</sup> are independently substituted alkyl groups.
- 30 5. The compound of Claim 3, wherein  $X^2$  is an aryl, substituted aryl, heteroaryl or substituted heteroaryl moiety selected from a group consisting of the following moieties:

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wherein,

R<sup>5</sup> is hydrogen, alkyl or substituted alkyl;

R<sup>6</sup> is hydrogen, alkyl, halo or alkoxy;

R<sup>7</sup> is hydrogen, alkyl or halo;

R<sup>8</sup> is hydrogen, alkyl, substituted alkyl, alkoxy or halo;

 $R^9$  is hydrogen, alkyl, substituted alkyl, alkoxy, nitro or halo;

R<sup>10</sup> is hydrogen or alkyl;

R<sup>11</sup> is hydrogen or alkyl; and,

R<sup>12</sup> is hydrogen or alkyl.

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6. The compound of Claim 2, wherein  $X^1$  and  $X^3$  are heteroaryl or substituted heteroaryl moieties independently selected from a group consisting of the following moieties:

wherein

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R<sup>13</sup> is hydrogen of alkyl; and,

R<sup>14</sup> is hydrogen, alkyl or substituted alkyl.

7. The compound of Claim 4, wherein  $R^1$  and  $R^2$  are substituted alkyl moieties independently selected from a group consisting of the following moieties:

wherein

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R<sup>15</sup> is hydrogen, hydroxyl, alkoxyl, alkyl, cycloalkyl or R<sup>15</sup> and R<sup>16</sup> together with the atoms to which they are attached form a heterocyclic ring;

R<sup>16</sup> is hydrogen, hydroxyl, alkyl or cycloalkyl;

R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently hydrogen or alkyl;

R<sup>21</sup> is hydrogen alkyl, substituted alkyl, cycloalkyl or acyl;

 $R^{22}$  is hydrogen or alkyl, or  $R^{22}$  and  $R^{23}$  together with the atoms to which they are attached form a heterocyclic ring, or  $R^{22}$  and  $R^{24}$  together with the atoms to which they are attached form a heterocyclic ring.

 $R^{23}$  is hydrogen, hydroxyl, alkyl, cycloalkyl or  $R^{23}$  and  $R^{24}$  together with the atoms to which they are attached form a heterocyclic ring;

R<sup>24</sup> is hydrogen, hydroxyl or alkyl;

m is 1, 2 or 3;

n is 1, 2 or 3; and,

o is 0, 1, 2 or 3.

8. The compound of Claim 6, wherein R<sup>14</sup> is an alkyl or substituted alkyl moiety, and wherein the moiety is selected from a group consisting of the following moieties:

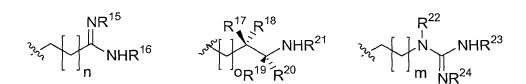
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9. The compound of Claim 5, wherein  $X^1$  and  $X^3$  are heteroaryl or substituted heteroaryl moieties independently selected from a group consisting of the following moieties:

## 15 wherein

R<sup>13</sup> is hydrogen of alkyl;

R<sup>14</sup> is hydrogen, alkyl or substituted alkyl;



wherein

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R<sup>15</sup> is hydrogen, hydroxyl, alkoxyl, alkyl, cycloalkyl or R<sup>15</sup> and R<sup>16</sup> together with the atoms to which they are attached form a heterocyclic ring;

R<sup>16</sup> is hydrogen, hydroxyl, alkyl or cycloalkyl;

R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently hydrogen or alkyl;

R<sup>21</sup> is hydrogen alkyl, substituted alkyl, cycloalkyl or acyl;

 $R^{22}$  is hydrogen or alkyl, or  $R^{22}$  and  $R^{23}$  together with the atoms to which they are attached form a heterocyclic ring, or  $R^{22}$  and  $R^{24}$  together with the atoms to which they are attached form a heterocyclic ring.

 $R^{23}$  is hydrogen, hydroxyl, alkyl, cycloalkyl or  $R^{23}$  and  $R^{24}$  together with the atoms to which they are attached form a heterocyclic ring;

R<sup>24</sup> is hydrogen, hydroxyl or alkyl;

m is 1, 2 or 3;

n is 1, 2 or 3; and,

o is 0, 1, 2 or 3.

10. The compound of Claim 9, wherein  $X^2$  is

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11. The compound of Claim 9, wherein  $X^1$  and  $X^3$  are both

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12. The compound of Claim 10, wherein  $R^1$  and  $R^2$  are of the following structure:

wherein

o is 0;

R<sup>17</sup> and R<sup>18</sup> are hydrogen; and,

R<sup>21</sup> is hydrogen, alkyl or acyl.

13. The compound of Claim 11, wherein  $R^1$  and  $R^2$  are of the following structure:

wherein

R<sup>15</sup> and R<sup>16</sup> are hydrogen; and,

n is 1 or 2.

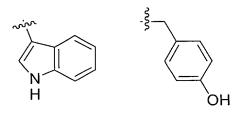
14. The compound of Claim 12, wherein  $R^{19}$  and  $R^{20}$  are hydrogen, and wherein  $R^{21}$  is an alkyl group selected from a group consisting of methyl, ethyl and propyl, or an acyl

moiety of the structure  $-C(O)C(R^{25})(R^{26})H$ ,

wherein

R<sup>25</sup> is a substituent selected from a group consisting of the following substituents:

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or  $R^{25}$  and  $R^{26}$  together with the atom to which they are attached form a heterocyclic ring of the following structure:

and wherein  $R^{26}$  is a substituent selected from a group consisting of the following substituents: -H, -NH<sub>2</sub> and -NHCH<sub>3</sub>.

15. The compound of Claim 12, wherein  $R^1$  and  $R^2$  are independently of one of the following structures:

wherein

R<sup>19</sup> and R<sup>20</sup> are independently hydrogen or alkyl; and,

R<sup>21</sup> is hydrogen, alkyl or acyl.

16. The compound of Claim 13, wherein R<sup>14</sup> is an alkyl or substituted alkyl moiety, and wherein the moiety is selected from a group consisting of the following moieties:

17. The compound according to Claim 14, wherein the compound is of the following structure:

18. The compound according to Claim 16, wherein the compound is of the following structure:

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wherein  $R^{14}$  is hydrogen,  $-CH_2CH_2CH(CH_3)_2$  or  $-CH_2(C_3H_5)$ , and wherein  $X^2$  is a moiety selected from a group consisting of the following moieties:

19. A method of treating bacterial or fungal infections, wherein the method comprises administration of a therapeutically effective amount of a compound of Formula (I):

$$R^{1}-Z^{1} \xrightarrow{0} X^{1}-N \xrightarrow{0} X^{2} \xrightarrow{0} N-X^{3} \xrightarrow{0} Z^{2}-R^{2}$$
(I)

wherein:

 $Z^1$  and  $Z^2$  are independently –NR<sup>3</sup>- (wherein R<sup>3</sup> is hydrogen or alkyl) or -O-;

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 $R^1$  and  $R^2$  are independently substituted alkyl, substituted aryl, heteroaryl, or substituted heteroaryl provided that at least one of  $R^1$  and  $R^2$  is a group that can form a pharmaceutically acceptable acid addition salt;

R<sup>3</sup> is hydrogen, alkyl or R<sup>3</sup> and R<sup>1</sup> or R<sup>2</sup> together with the atoms to which they are attached form a heterocyclic ring;

 $X^2$  is aryl, substituted aryl, heteroaryl, substituted heteroaryl, alkenyl, alkynyl, cycloalkyl or heterocyclic;

 $X^1$  and  $X^3$  are independently aryl, substituted aryl, heteroaryl, substituted heteroaryl, or  $-CHR^4$ , wherein  $R^4$  is natural or unnatural amino acid side chain; or a pharmaceutically acceptable acid addition salt thereof.

20. A method of inhibiting topoisomerase, wherein the method comprises administration of a therapeutically effective amount of a compound of Formula (I):

wherein:

 $Z^1$  and  $Z^2$  are independently –NR<sup>3</sup>- (wherein R<sup>3</sup> is hydrogen or alkyl) or -O-;

 $R^1$  and  $R^2$  are independently substituted alkyl, substituted aryl, heteroaryl, or substituted heteroaryl provided that at least one of  $R^1$  and  $R^2$  is a group that can form a pharmaceutically acceptable acid addition salt;

 $R^3$  is hydrogen, alkyl or  $R^3$  and  $R^1$  or  $R^2$  together with the atoms to which they are attached form a heterocyclic ring;

 $X^2$  is aryl, substituted aryl, heteroaryl, substituted heteroaryl, alkenyl, alkynyl, cycloalkyl or heterocyclic;

 $X^1$  and  $X^3$  are independently aryl, substituted aryl, heteroaryl, substituted heteroaryl, or  $-CHR^4$ , wherein  $R^4$  is natural or unnatural amino acid side chain; or a pharmaceutically acceptable acid addition salt thereof.

21. A method of treating bacterial infections, wherein the method comprises administration of a therapeutically effective amount of the following compound:

$$R^{21}HN$$
 $O$ 
 $NH$ 
 $O$ 
 $HN$ 
 $HN$ 
 $NHR^2$ 

wherein R<sup>21</sup> is hydrogen, alkyl, substituted alkyl, cycloalkyl or acyl.

22. A method of treating fungal infections, wherein the method comprises administration of a therapeutically effective amount of the following compound:

wherein  $R^{14}$  is hydrogen,  $-CH_2CH_2CH(CH_3)_2$  or  $-CH_2(C_3H_5)$ , and wherein  $X^2$  is a moiety selected from a group consisting of the following moieties:

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wherein

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R<sup>5</sup> is hydrogen, alkyl or substituted alkyl;

R<sup>8</sup> is hydrogen, alkyl, substituted alkyl, alkoxy or halo;

R<sup>9</sup> is hydrogen, alkyl, substituted alkyl, alkoxy, nitro or halo;

R<sup>10</sup> is hydrogen or alkyl; and,

R<sup>11</sup> is hydrogen or alkyl.

23. A method of treating a bacterial or fungal infection, wherein the bacterial or fungal strain is selected from a group consisting of the following strains: *c. albicans*, *a. funigatus*, *b. cereus*, *h. influenzae* and *p. aeruginosa*.